T520X

$$X = \begin{pmatrix} R \\ R^{16} \\ R^{15} \end{pmatrix}$$

$$(I)$$

wherein X represents the residue of the A, B and C rings of a steroid selected from

the group consisting of

androstan- 3α - or 3β -ol,

androst-5-en-3 α -or 3 β -ol,

androst-4-en-3-one,

androst-2-ene,

androst-4-ene,

androst-5-ene,

androsta-5,7-dien- 3α or 3β -ol,

androsta-1,4-dien-3-one,

androsta-3,5-diene,

androsta-3,5-dien-3-ol,

estra-1,3,5[10]-triene and

estra-1,3,5[10]-trien-3-ol,

5α-androstan-3-one,

androst-4-ene-3,11-dione,

6-fluoroandrost-4-ene-3-one,

androstan-4-ene-3,6-dione,

each of which, where structurally permissible, can be further derivatised in one or more of the following ways:

- to form 3-esters
- to have one or more carbon to carbon ring double bonds in any of the 5,6-, 6,7-, 7,8-, 9,11- and 11,12-positions
 - as 3-oximes
 - as 3-methylenes
 - as 3-carboxylates
 - as 3-nitriles
 - as 3-nitros
 - as 3-desoxy derivatives

Conit

- 3 -

- to have one or more hydroxy, halo, C_{1-4} -alkyl, trifluoro- methyl, C_{1-4} -alkoxy, C_{1-4} -alkanoyloxy, benzoyloxy, oxo, methylene or alkenyl substituents in the A, B, or C-ring

- to be 19-nor;

R represents a hydrogen atom or an alkyl group of 1-4 carbon atoms;

 ${
m R}^{14}$ represents a hydrogen atom, a halogen atom or an alkyl group of 1 to 4 carbon atoms;

each of the R^{15} substituents independently represents a hydrogen atom or an alkyl or alkoxy group of 1-4 carbon atoms, a hydroxy group or an alkylcarbonyloxy group of 2 to 5 carbon atoms or together represent an oxo or methylene group or R^{14} and one of the R^{15} groups together represent a double bond and the other R^{15} group represents a hydrogen atom or an alkyl group of 1 to 4 carbon atoms; and

 R^{16} represents a hydrogen atom, halogen atom, or an alkyl group of 1 to 4 carbon atoms, in the form of the free bases or pharmaceutically acceptable acid addition salts, but excluding 3 β -acetoxy-17-(3-pyridyl)androsta-5,14,16-triene, 3 β ,15 α - and 3 β , 15 β -diacetoxy-17-(3-pyridyl)androsta-5,16-diene and 3 β -methoxy-17-(3-pyridyl-5 α -androst-16-ene.

36 (amended). A method of treating an androgen-dependent or estrogen-dependent disorder which comprises administering to a patient in a therapeutically effective dose a compound of the formula (1):

Cont

T550X

$$\begin{array}{c|c}
R & N \\
\hline
 & N \\
\hline
 & R^{16} \\
\hline
 & R^{15} \\
\end{array}$$
(I)

wherein X represents the residue of the A, B and C rings of a steroid selected from the group consisting of

Cont

androstan-3 α - or 3 β -ol, androst-5-en-3 α -or 3 β -ol, androst-4-en-3-one, androst-2-ene, androst-4-ene, androst-5-ene, androsta-5,7-dien-3 α or 3 β -ol, androsta-1,4-dien-3-one, androsta-3,5-diene, androsta-3,5-diene,

estra-1,3,5[10]-trien-3-ol,

5α-androstan-3-one,

androst-4-ene-3,11-dione,

6-fluoroandrost-4-ene-3-one,

androstan-4-ene-3,6-dione,

each of which, where structurally permissible, can be further derivatised in one or more of the following ways:

- to form 3-esters
- to have one or more carbon or carbon ring double bonds in any of the 5,6-, 6,7-, 7,8-, 9,11- and 11,12-positions
 - as 3-oximes
 - as 3-methylenes
 - as 3-carboxylates
 - as 3-nitriles
 - as 3-nitros
 - as 3-desoxy derivatives
- to have one or more hydroxy, halo, C_{1-4} -alkyl, trifluoro- methyl, C_{1-4} -alkoxy, C_{1-4} -alkanoyloxy, benzoyloxy, oxo, methylene or alkenyl substituents in the A, B, or C-ring
 - to be 19-nor;;

R represents a hydrogen atom or an alkyl group of 1-4 carbon atoms;

and

34

 ${
m R}^{14}$ represents a hydrogen atom, a halogen atom or an alkyl group of 1 to 4 carbon atoms;

each of the R^{15} substituents independently represents a hydrogen atom or an alkyl or alkoxy group of 1-4 carbon atoms, a hydroxy group or an alkylcarbonyloxy group of 2 to 5 carbon atoms or together represent an oxo or methylene group or R^{14} and one of the R^{15} groups together represent a double bond and the other R^{15} group represents a hydrogen atom or an alkyl group of 1 to 4 carbon atoms; and

 ${
m R}^{16}$ represents a hydrogen atom, halogen atom, or an alkyl group of 1 to 4 carbon atoms, in the form of the free bases or pharmaceutically acceptable acid addition salts.

6

57